chu et al US PN 6,720,346

4/13/04

=> s e331 L11

1 486413-88-1/BI (486413-88-1/RN)

=> d scan

L11 1 ANSWERS REGISTRY COPYRIGHT 2004 ACS on STN

IN Benzamide, 4-[[4-amino-5-(2,6-difluorobenzoy1)-2-thiazoly1]amino]-N-[2-(1-methylethoxy)ethyl]- (9CI)

MF C22 H22 F2 N4 O3 S

$$\begin{array}{c|c} & & & & & & & & & & \\ i-\text{PrO}-\text{CH}_2-\text{CH}_2-\text{NH}-\text{C} & & & & & & \\ & & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & \\ & & \\ & & \\ & \\ & & \\ & \\ & & \\ & \\ & & \\ & & \\ & \\ & \\ & \\ & & \\ & \\ & \\ & \\$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:182368 CAPLUS

DOCUMENT NUMBER: 140:229401

Three hybrid assay system for isolating ligand-binding TITLE:

polypeptides and for isolating small mol. ligands

Come, Jon H.; Becker, Frank; Kley, Nikolai A.; INVENTOR(S):

Reichel, Christoph

PATENT ASSIGNEE(S):

U.S. Pat. Appl. Publ., 238 pp., Cont.-in-part of U.S. SOURCE:

Ser. No. 91,177.

CODEN: USXXCO

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
	<b>-</b>					
US 2004043388	A1	20040304	US 2002-234985		20020903	
US 2003165873	A1	20030904	US 2002-91177		20020304	
PRIORITY APPLN. INFO.:			US 2001-272932P	P	20010302	
			US 2001-278233P	P	20010323	
			US 2001-329437P	P	20011015	
			US 2002-91177	A2	20020304	

The invention provides compns. and methods for isolating ligand-binding AΒ polypeptides for a user-specified ligand, and for isolating small mol. ligands for a user-specified target polypeptide using an improved class of hybrid ligand compds. Preparation of compds., e.g a methotrexate moiety linked by a polyethylene gycol moiety to dexamethasone, is described.

ΙT 223784-75-6D, conjugates

RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(three hybrid assay system for isolating ligand-binding polypeptides and for isolating small mol. ligands)

RN 223784-75-6 CAPLUS

Benzenesulfonamide, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-CN (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ H_2N-S & & & \\ O & & & NH \\ \hline & & & NH_2 \\ \hline & & & C \\ \hline & & & C \\ \hline & & & F \\ \hline \end{array}$$

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2004 ACS on STN

1999:297411 CAPLUS ACCESSION NUMBER:

130:325142 DOCUMENT NUMBER:

TITLE: Preparation of 4-aminothiazole derivatives as

inhibitors of cyclin-dependent kinases

Chong, Wesley K. M.; Chu, Shao Song; Duvadie, Rohit INVENTOR(S):

R.; Li, Lin; Xiao, Wei; Yang, Yi

Agouron Pharmaceuticals, Inc., USA PATENT ASSIGNEE(S):

SOURCE:

PCT Int. Appl., 172 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent<sup>\*</sup> English

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE			
	WO 9921845						WO 1998-US22809											
	WO	W:		ΔM.	AT.												, CZ,	DE,
			DK.	EE.	ES.	FT.	GB.	GE.	GH.	GM.	. HF	R. HU	, ID,	IL.	IS,	JΡ	, KE,	KG,
																	, MW,	
																	, TR,	
																	, TJ,	
		RW:	GH.	GM.	KE.	LS.	MW.	SD.	SZ,	UG,	. Zw	i. AT	, BE,	CH,	CY,	DE	, DK,	ES,
			FI.	FR.	GB,	GR.	IE,	IT,	LU,	MC,	, NI	, PT	, SE,	BF,	ВJ,	CF	, CG,	CI,
			CM,	GA,	GN,	GW,	ML	MR,	ΝE,	SN,	, ТГ	, TG						
	CA	2306		,	,	AΑ		1999					-2306	082			19981	027
		9913				A1		1999	0517		ΑU	1999	-1366	4			19981	027
		7387				A1 B2 T2		2001										
		2000		1		Т2		2000			TR	2000	-2000	0108	1		19981	027
		1056				A2		2000	1206		EΡ	1998	-9573	93			19981	
		R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	, GF	R, IT	, LI,	LU,	NL,	SE	, MC,	PT,
						LV,		RO										
	SI	2032				C		2001	0228		SI	1998	-2006	8			19981	027
	EΕ	2000	0028	9		A		2001	0615		EE	2000	-2000 -1520	0028	9		19981	
	BR	9815	200			Α		2001	1016								19981	
	ΕP	1215	208			A2		2002			ΕP	2002	-1881				19981	027
	EP	1215				A3		2002										
		R:											, LI,	LU,	NL,	SE	, MC,	PT,
			ΙE,	SI,	LT,	LV,	FI	RO,	MK,	CY	, AI	_						
		5037				Α		2002					-5037				19981	
	US	6569	878			В1		2003					-1797				19981	
	ΝZ	5174	19			A		2003					-5174				19981	
	JР	5174 2004 2000 4855	5003	04		Т2		2004					-5179				19981	
	ИО	2000	0019	55		A		2000					-1955	•			20000	
	LT	4855				В		2001				2000					20000	
	HR	2000	0002	22		$A \perp$		2001					-222				20000	
		2000		2		A B		2000					-3812				20000	
		1259						2001				2000		7.0			20000	
		1044						2001			BG	2000	-1044	78			20000	526
		6419		0.0		B1		2004			110	2002	2000				20020	212
		2003				A1		2003	112/				-3888				20030	
PRIO	KLT'	Y APP	LN.	TNFO	.:								-6363				19971 19971	
													-6366 -9573				19971	
•													-9013 -5037				19981	
													-3037				19981	
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alkyl, alkenyl, alkoxyl, alc., carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl, etc.; R2 is a carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, ring structure having a substituent at the position adjacent to the point of attachment, which ring structure is optionally further substituted, where each substituent of R independently is a halogen, haloalkyl, C-alkyl, C-alkenyl, C-alkynyl, hydroxyl, C-alkoxyl, amino, nitro, thiol, thioether, imine, cyano, amido, phosphonato, phosphine, carboxyl, thiocarbonyl, sulfonyl, sulfonamide, ketone, aldehyde, ester, oxygen, carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, cycloalkyl; or carbocyclic or heterocyclic, monocyclic or fused or non-fused polycyclic, aryl], a pharmaceutically acceptable salt, a prodrug, pharmaceutically active metabolite of title compound, or pharmaceutically acceptable salt thereof, are prepared as inhibitors of cyclin-dependent kinases (CDKs: CDK1, CDK2, CDK4, and CDK6) to the therapeutic or prophylactic use of pharmaceutical compns. containing such compds. and to methods of treating malignancies and other disorders by administering effective amts. of such compds. Thus, I (R1 = C6H5; R2 = 3-NO2C6H4) was prepared with 52% yield from cyanamide, isothiocyanate, and 2-bromo-3'-nitroacetophenone in the presence of sodium.

IT 223784-25-6P 223784-75-6P 223784-98-3P 223784-99-4P 223785-00-0P 223785-07-7P 223785-50-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Preparation of 4-aminothiazoles as inhibitors of cyclin-dependent kinases)

223784-25-6 CAPLUS

RN CN

RN

Benzenesulfonamide, 4-[[4-amino-5-[2,6-dichloro-4-(trifluoromethyl)benzoyl]-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ H_2N-S & & & \\ O & & & NH-N \\ & & & \\ C & & & \\ C1 & & & \\ CF_3 & & \\ \end{array}$$

223784-75-6 CAPLUS

CN Benzenesulfonamide, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino](9CI) (CA INDEX NAME)

RN 223784-98-3 CAPLUS

CN Benzenesulfonamide, 4-[[4-amino-5-(2,4,6-trichlorobenzoy1)-2-thiazolyl]amino]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c}
O \\
H_2N-S \\
O \\
O \\
NH-N \\
NH_2 \\
C=O \\
C1 \\
C1
\end{array}$$

RN 223784-99-4 CAPLUS

CN Benzenesulfonamide, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

RN 223785-00-0 CAPLUS

CN Benzenesulfonamide, 4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 223785-07-7 CAPLUS

CN Benzenesulfonamide, 4-[[4-amino-5-(2,4,6-trifluorobenzoyl)-2thiazolyl]amino]- (9CI) (CA INDEX NAME)

223785-50-0 CAPLUS RN

Benzenesulfonamide, 4-[[4-amino-5-(2,6-difluorobenzoy1)-2-thiazoly1]amino]-CN N-(4-piperidinylmethyl) - (9CI) (CA INDEX NAME)

## IT: 223786-37-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(Preparation of 4-aminothiazoles as inhibitors of cyclin-dependent kinases)

RN 223786-37-6 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[[[4-[[4-amino-5-(2,6-difluorobenzoyl)-2-thiazolyl]amino]phenyl]sulfonyl]amino]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)